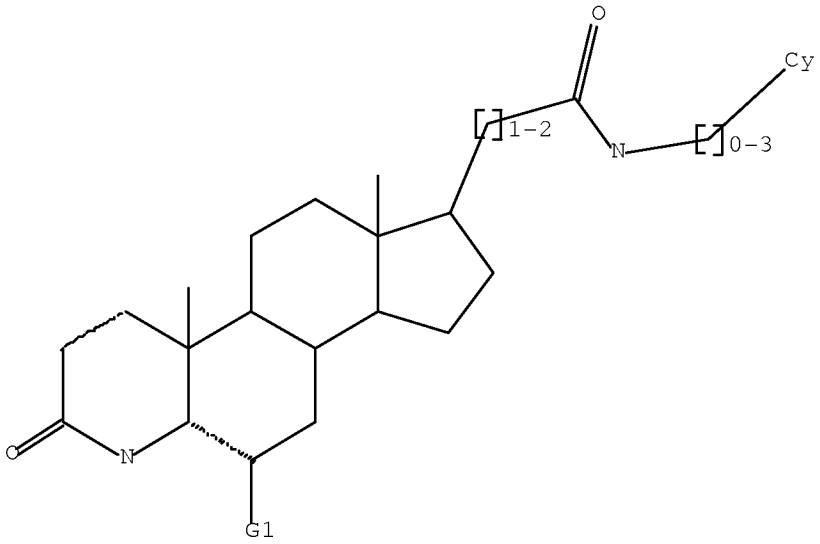
L1 STRUCTURE UPLOADED

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

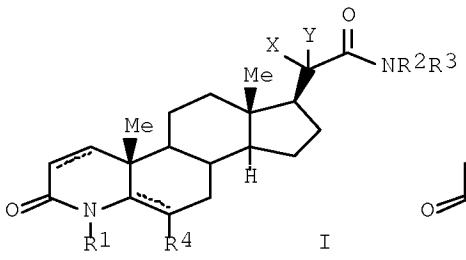


G1 X,Cy,Ak

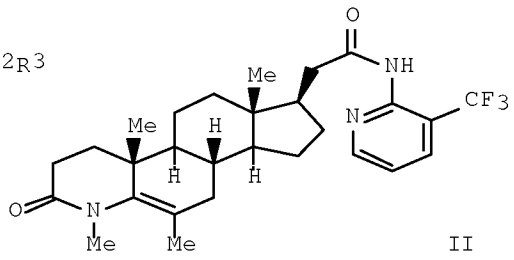
L2 2 S L1 SSS SAM
L3 81 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:48:07 ON 28 APR 2010
L4 1 S L3

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Preparation of 17β-acetamide-4-azasteroids as androgen receptor modulators
GI



I



II

AB Azasteroids of structural formula I [X, Y = H, halo, OH, alkoxy, hydroxymethyl, alkyl; R1 = H, acyl, OH, alkyl, etc.; R1R4 = 5-6 membered ring; R2 = H, alkyl; R3 = aryl, alkylaryl, heteroaryl, alkyl, etc.; R2R3 = 5-6 membered ring; R4 = halo, alkyl, cyclopropa, oxo, etc.] are prepared as modulators of the androgen receptor (AR) in a tissue selective manner. These

compds. are useful in the enhancement of weakened muscle tone and the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, benign prostatic hyperplasia (BPH), cancer cachexia, Alzheimer's disease, muscular dystrophies, cognitive decline, sexual dysfunction, sleep apnea, depression, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents. Thus, II was prepared Some of the compds. had IC50 values of 1 μM or less in an assay for endogenously expressed AR.

ACCESSION NUMBER: 2005:1154379 HCAPLUS Full-text
DOCUMENT NUMBER: 143:406045
TITLE: Preparation of 17β-acetamide-4-azasteroids as androgen receptor modulators
INVENTOR(S): Wang, Jiabing; Mcvean, Carol A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005099707	A1	20051027	WO 2005-US11537		20050404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005232619	A1	20051027	AU 2005-232619		20050404
AU 2005232619	B2	20080724			
CA 2562132	A1	20051027	CA 2005-2562132		20050404
EP 1734964	A1	20061227	EP 2005-733118		20050404
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, LV					
CN 1942187	A	20070404	CN 2005-80012086		20050404
JP 2007532550	T	20071115	JP 2007-507446		20050404
US 20080125399	A1	20080529	US 2006-594853		20060929
IN 2006DN06434	A	20070831	IN 2006-DN6434		20061101
PRIORITY APPLN. INFO.:			US 2004-560385P	P	20040408
			WO 2005-US11537	W	20050404

FILE 'REGISTRY' ENTERED AT 10:50:25 ON 28 APR 2010
L5 STRUCTURE UPLOADED

L5 STRUCTURE UPLOADED

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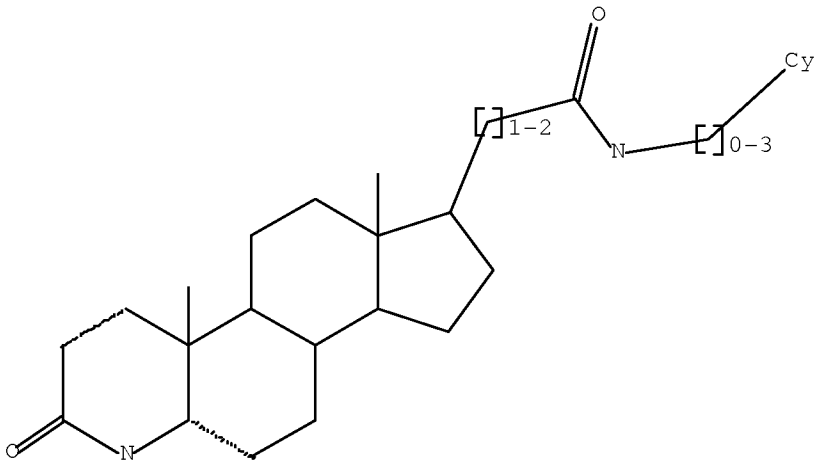
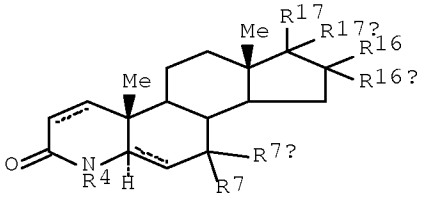
L5 HAS NO ANSWERS
L5 STR



L6 19 S L5 SSS SAM
L7 449 S L5 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:51:13 ON 28 APR 2010
L8 12 S L7
L9 12 S L8 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L10 11 S L9 NOT L4

L10 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Preparation of substituted 4-aza-3-oxo-steroids for use as 5α-reductase inhibitors
GI



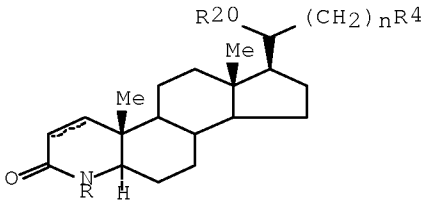
I

AB Steroids such as 4-aza-5α-androstan-ones I [1,2-, 5,6-saturated or unsatd.; R4 = H, Me, Et; R7 = R7a = H, OH, alkyl, alkenyl, carbamoyloxy, carboxy, etc.; R7R7a = oxo, cycloalkyl, etc.; R16 = R16a = H, alkyl; R16R16a = cycloalkenyl; R17 = R17a = H, acyl, carbamoyl, aminoalkyl, alkyl, etc.; R17R17a = oxo, etc.] were prepared as 5α-reductase inhibitors for treatment of hyperandrogenic conditions. Thus, 4-methyl-17β-(trimethylacetamido)-5α-4-azaandrostan-3-one was prepared via oximation of 4-methyl-3-oxo-5α-4-azaandrostan-17-carboxaldehyde, hydrogenation to form the corresponding amine followed by N-acylation with Me3CCO2Cl. The prepared compds. were tested for inhibition of human prostatic and scalp 5α-reductase, however, activities for specific compds. were not presented.

ACCESSION NUMBER: 1997:776029 HCAPLUS Full-text
DOCUMENT NUMBER: 128:61680
ORIGINAL REFERENCE NO.: 128:12090h,12091a
TITLE: Preparation of substituted 4-aza-3-oxo-steroids for use as 5α-reductase inhibitors
INVENTOR(S): Durette, Philippe L.; Hagmann, William; Rasmusson, Gary H.; Tolman, Richard L.; Kopka, Ihor E.; Sahoo, Soumya P.; Esser, Craig K.; Steinberg, Nathan G.; Graham, Donald W.; Witzel, Bruce E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 139 pp., Cont.-in-part of U.S. Ser. No. 886,537, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693809	A	19971202	US 1995-338571	19950512 <--
PRIORITY APPLN. INFO.:			US 1992-886537 B2	19920520 <--

L10 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2010 ACS on STN
TI Preparation of 17-ester, -amide, and -ketone derivatives of 3-oxo-4-azasteroids as testosterone 5α-reductase inhibitors
GI



I

AB Title compds. [I; R = H, Me, Et; R4 = COR1, CONHR2, CO2R3; R1 = (hetero)aryl; R2 = substituted Ph, (substituted)heteroaryl, cycloalkyl; R3 = cycloalkyl, (substituted)aryl; R20 = H, Me; n = 0-10; dashed line = optional bond] were prepared as testosterone 5α-reductase inhibitors (no data). Thus,4-methyl-17β-trifluoromethylsulfonyloxy-4-aza- 5α-androst-16-en-3-one was condensed with HC.tplbond.CCH2CH2CO2Me and the reduced product saponified to give I (R = Me, R4 CO2H, R20 = H, n = 3).
ACCESSION NUMBER: 1994:134931 HCAPLUS Full-text
DOCUMENT NUMBER: 120:134931
ORIGINAL REFERENCE NO.: 120:23791a,23794a
TITLE: Preparation of 17-ester, -amide, and -ketone derivatives of 3-oxo-4-azasteroids as testosterone 5α-reductase inhibitors
INVENTOR(S): Graham, Donald W.; Aster, Susan D.; Hagmann, William; Tolman, Richard L.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9323051	A1	19931125	WO 1993-US4631	19930517	<--
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
AU 9342505	A	19931213	AU 1993-42505	19930517	<--
AU 674145	B2	19961212			
EP 641209	A1	19950308	EP 1993-911331	19930517	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE					
JP 07508033	T	19950907	JP 1993-503779	19930517	<--
PRIORITY APPLN. INFO.:			US 1992-886021	A2 19920520	<--
			WO 1993-US4631	A 19930517	<--

FILE 'REGISTRY' ENTERED AT 10:55:59 ON 28 APR 2010
E 158938-23-9/RN
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L11 1 S E3
E 1101706-70-0/RN
L12 1 S E15
L13 1 S E24
E 1101707-31-6/RN
L14 1 S E27
L15 1 S E28
L16 1 S E29
L17 1 S E30
L18 1 S E31
E 1101707-77-0/RN
L19 1 S E39
E 1101708-50-2/RN
L20 1 S E51
E 827581-16-8/RN
L21 1 S E63
L22 1 S E65
L23 1 S E67
L24 1 S E69
E 827585-18-2/RN
L25 1 S E75
E 851866-38-1/RN
L26 1 S E87
E 851866-41-6/RN
L27 1 S E99

FILE 'HCAPLUS' ENTERED AT 11:00:41 ON 28 APR 2010
E WANG JIABING?/AU
L28 61 S E109-E110
L29 12 S L28 AND (ANDROGEN? OR HORMON?)
L30 9 S L29 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)
L31 8 S L30 NOT L4
E MCVEAN CAROL?/AU
L32 11 S E122
L33 5 S L32 AND (ANDROGEN? OR HORMON?)
L34 2 S L33 AND (PY<=2004 OR AY<=2004 OR PRY<=2004)

L35 1 S L34 NOT L4

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